

Application No.: 10/518324
Docket No.: BA9308USPCT

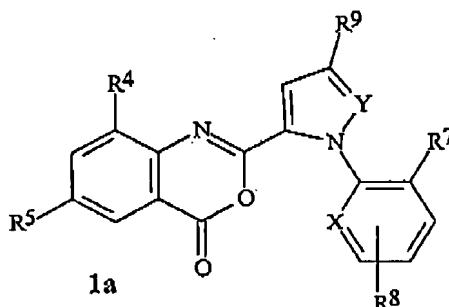
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Amendments to Claims

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1. (Canceled)
2. (Canceled)
3. (Currently amended) The method of Claim ~~2~~ 12 wherein the nominal mole ratio of sulfonyl chloride to carboxylic acid in (1) is from about 1.0 to 1.5; the nominal mole ratio of the *ortho*-amino aromatic carboxylic acid in (2) to carboxylic acid charged in (1) is from about 0.9 to 1.1; the nominal mole ratio of additional sulfonyl chloride added in (3) to carboxylic acid charged in (1) is from about 1.0 to 1.5.
4. (Currently amended) The method of Claim 3 wherein the nominal mole ratio of the ~~optionally-substituted~~ pyridine compound charged in (1) to carboxylic acid charged in (1) is from about 1.0 to 2.0; additional ~~optionally-substituted~~ pyridine compound is charged in (2); and the nominal mole ratio of the additional ~~optionally-substituted~~ pyridine compound charged in (2) to carboxylic acid charged in (1) is from about 2.0 to 4.0.
5. (Canceled)
6. (Canceled)
7. (Currently amended) The method of Claim ~~6-12~~ wherein K is, together with the two contiguous linking carbon atoms, a fused phenyl ring optionally substituted with from one to four substituents independently selected from W or R¹³.
8. (Currently amended) The method of Claim ~~2~~ 12 wherein a compound of Formula 1a



wherein

X is N or CR⁶;

Y is N or CH;

R⁴ is C₁-C₄ alkyl or halogen;R⁵ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl or halogen;R⁶ and R⁷ are independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN or C₁-C₄ haloalkoxy;

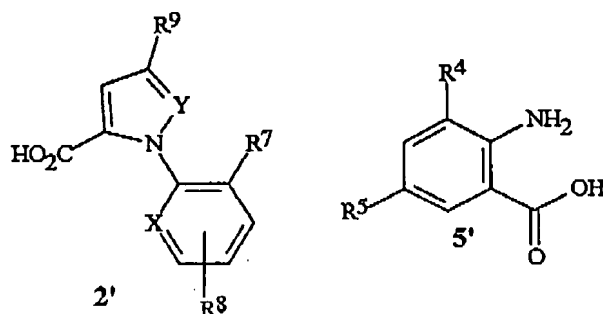
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R^8 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, $(C_1$ - C_4 alkyl)(C_3 - C_6 cycloalkyl)amino, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxy carbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl;

R^9 is CF_3 , OCF_3 , $OCHF_2$, OCH_2CF_3 , $S(O)_pCF_3$, $S(O)_pCHF_2$ or halogen; and p is 0, 1 or 2;

is prepared using a compound of Formula 2' as the Formula 2 compound and a compound of Formula 5' as the Formula 5 compound



9. (Original) The method of Claim 8 wherein

X is N;

Y is N;

R^4 is CH_3 , F, Cl or Br;

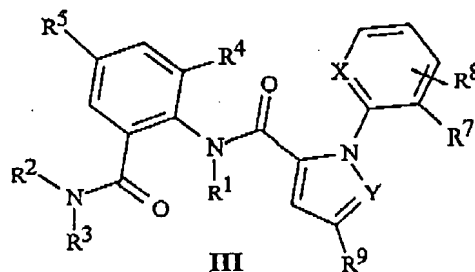
R^5 is CF_3 , F, Cl, Br or I;

R^7 is Cl or Br;

R^8 is H; and

R^9 is CF_3 , $OCHF_2$, OCH_2CF_3 , Cl or Br.

10. (Currently amended) A method for preparing a compound of Formula III



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wherein

X is N or CR⁶;

Y is N or CH;

R¹ is H;

R² is H or CH₃;

R³ is C₁-C₆ alkyl;

R⁴ is C₁-C₄ alkyl or halogen;

R⁵ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl or halogen;

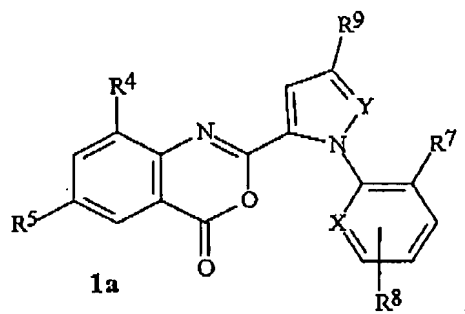
R⁶ and R⁷ are independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN or C₁-C₄ haloalkoxy;

R⁸ is H, C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, (C₁-C₄ alkyl)(C₃-C₆ cycloalkyl)amino, C₂-C₄ alkylcarbonyl, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkylaminocarbonyl, C₃-C₈ dialkylaminocarbonyl or C₃-C₆ trialkylsilyl; and

R⁹ is CF₃, OCF₃, OCHF₂, OCH₂CF₃, S(O)_pCF₃, S(O)_pCHF₂ or halogen;

~~p is 0, 1 or 2;~~

which uses ~~using~~ a compound of Formula 1a



in the preparation of said Formula III compound, characterized by:

preparing said compound of Formula 1a by the method of Claim 8-12.

11. (Original) The method of Claim 10 wherein

X is N;

Y is N;

R² is H or CH₃;

R³ is C₁-C₄ alkyl;

R⁴ is CH₃, F, Cl or Br;

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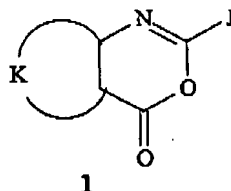
R^5 is CF_3 , F, Cl, Br or I;

R^7 is Cl or Br;

R^8 is H; and

R^9 is CF_3 , $OCHF_2$, OCH_2CF_3 , Cl or Br.

12. (New) A method for preparing a fused oxazinone of Formula 1,



wherein

K is, together with the two contiguous linking carbon atoms, a fused phenyl ring optionally substituted with from one to four substituents independently selected from G, U, W or R^{13} ;

J is C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_8 cycloalkyl or C_3 - C_8 cycloalkenyl, each optionally substituted with one or more substituents selected from the group consisting of R^{12} , halogen, CN, NO_2 , hydroxy, C_1 - C_4 alkoxy, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, and $(C_1$ - C_4 alkyl)(C_3 - C_6 cycloalkyl)amino; or

J is a phenyl ring, a benzyl group, a benzoyl group, a 5- or 6-membered heteroaromatic ring, an aromatic 8-, 9- or 10-membered fused carbobicyclic ring system, an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system or a 5- or 6-membered nonaromatic heterocyclic ring optionally including one or two ring members selected from the group consisting of $C(=O)$, SO or $S(O)_2$, each optionally substituted with from one to four substituents independently selected from G, U, W or R^{13} ;

each G is a 5- or 6-membered nonaromatic heterocyclic ring optionally including one or two ring members selected from the group consisting of $C(=O)$, SO or $S(O)_2$, each optionally substituted with from one to four substituents independently selected from W;

each U is a phenyl ring, a benzyl group, a benzoyl group, a 5- or 6-membered heteroaromatic ring, an aromatic 8-, 9- or 10-membered fused carbobicyclic ring system, an aromatic 8-, 9- or 10-membered fused heterobicyclic ring system, each optionally substituted with from one to four substituents independently selected from W;

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each W is independently C₁-C₄ alkyl, C₂-C₄ alkenyl, C₂-C₄ alkynyl, C₃-C₆ cycloalkyl, C₁-C₄ haloalkyl, C₂-C₄ haloalkenyl, C₂-C₄ haloalkynyl, C₃-C₆ halocycloalkyl, halogen, CN, NO₂, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, C₃-C₆ cycloalkylamino, (C₁-C₄ alkyl)(C₃-C₆ cycloalkyl)amino or C₃-C₆ trialkylsilyl;

each R¹² is independently R¹⁹C(=E)- or -O(Q=)P(OR¹⁹)₂;

each R¹³ is B(OR¹⁷)₂; NH₂; SH; thiocyanato; C₃-C₈ trialkylsilyloxy; C₁-C₄ alkyldisulfide; SF₅; R¹⁹C(=E)-; R¹⁹C(=E)M-; R¹⁹MC(=E)-; (R¹⁹)MC(=E)M-; -OP(=Q)(OR¹⁹)₂; -S(O)₂MR¹⁹; or R¹⁹S(O)₂M-;

each E is independently O, S, NR¹⁵, NOR¹⁵, NN(R¹⁵)₂, N-S=O, N-CN or N-NO₂;

each M is independently O, NR¹⁸ or S;

Q is O or S;

each R¹⁵ and each R¹⁹ is independently H; C₁-C₆ alkyl optionally substituted with one or more substituents selected from the group consisting of CN, NO₂, hydroxy, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, C₁-C₄ haloalkylthio, C₁-C₄ haloalkylsulfinyl, C₁-C₄ haloalkylsulfonyl, C₁-C₄ alkylamino, C₂-C₈ dialkylamino, CO₂H, C₂-C₆ alkoxy carbonyl, C₂-C₆ alkyl carbonyl, C₃-C₆ trialkylsilyl, and a phenyl ring optionally substituted with one to three substituents independently selected from W; C₁-C₆ haloalkyl; C₃-C₆ cycloalkyl; or a phenyl ring optionally substituted with from one to three substituents independently selected from W;

each R¹⁷ is independently H or C₁-C₄ alkyl; or

B(OR¹⁷)₂ can form a ring wherein the two oxygen atoms are linked by a chain of two to three carbons optionally substituted with one or two substituents independently selected from methyl or C₂-C₆ alkoxy carbonyl; and

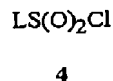
each R¹⁸ is independently H, C₁-C₆ alkyl or C₁-C₆ haloalkyl.

comprising:

(1) contacting a carboxylic acid of Formula 2



with a sulfonyl chloride of Formula 4



wherein

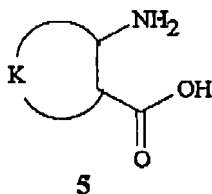
L is selected from alkyl, haloalkyl, and phenyl optionally substituted with from one to three substituents independently selected from alkyl or halogen;

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in the presence of a pyridine compound, the nominal mole ratio of sulfonyl chloride to carboxylic acid being from about 0.75 to 1.5;

(2) contacting the mixture prepared in (1) with an *ortho*-amino aromatic carboxylic acid of Formula 5



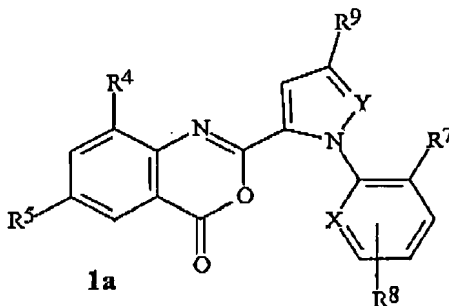
in the presence of a pyridine compound, the nominal mole ratio of the *ortho*-amino aromatic carboxylic acid to carboxylic acid charged in (1) being from about 0.8 to 1.2; and

(3) adding additional sulfonyl chloride to the mixture prepared in (2), the nominal mole ratio of additional sulfonyl chloride added in (3) to carboxylic acid charged in (1) being at least about 0.5.

13. (New) The method of Claim 12 wherein the pyridine compound is selected from the group consisting of pyridine, quinoline, isoquinoline and pyridine substituted with alkyl, dimethylamino, or pyrrolidino.

14. (New) The method of Claim 12 wherein sulfonyl chloride of Formula 4 is selected from the group consisting of methanesulfonyl chloride, propanesulfonyl chloride and benzene sulfonyl chloride.

15. (New) A method for preparing a fused oxazinone of Formula 1a



wherein

X is N or CR⁶;

Y is N or CH;

R⁴ is C₁-C₄ alkyl or halogen;

R⁵ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl or halogen;

R⁶ and R⁷ are independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN or C₁-C₄ haloalkoxy;

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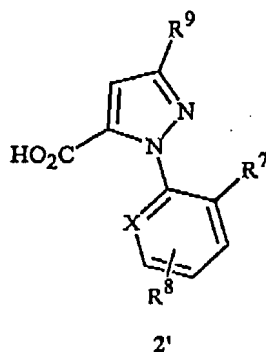
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R^8 is H, C_1-C_4 alkyl, C_2-C_4 alkenyl, C_2-C_4 alkynyl, C_3-C_6 cycloalkyl, C_1-C_4 haloalkyl, C_2-C_4 haloalkenyl, C_2-C_4 haloalkynyl, C_3-C_6 halocycloalkyl, halogen, CN, NO_2 , C_1-C_4 alkoxy, C_1-C_4 haloalkoxy, C_1-C_4 alkylthio, C_1-C_4 alkylsulfinyl, C_1-C_4 alkylsulfonyl, C_1-C_4 alkylamino, C_2-C_8 dialkylamino, C_3-C_6 cycloalkylamino, $(C_1-C_4 \text{ alkyl})(C_3-C_6 \text{ cycloalkyl})$ amino, C_2-C_4 alkylcarbonyl, C_2-C_6 alkoxy carbonyl, C_2-C_6 alkylaminocarbonyl, C_3-C_8 dialkylaminocarbonyl or C_3-C_6 trialkylsilyl;

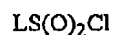
R^9 is CF_3 , OCF_3 , $OCHF_2$, OCH_2CF_3 , $S(O)_pCF_3$, $S(O)_pCHF_2$ or halogen; and p is 0, 1 or 2;

comprising:

(1) contacting a carboxylic acid of Formula 2'



with a sulfonyl chloride of Formula 4



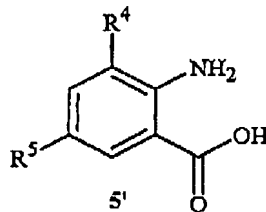
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wherein

L is selected from alkyl, haloalkyl, and phenyl optionally substituted with from one to three substituents independently selected from alkyl or halogen;

in the presence of a pyridine compound, the nominal mole ratio of sulfonyl chloride to carboxylic acid being from about 0.75 to 1.5;

(2) contacting the mixture prepared in (1) with an *ortho*-amino aromatic carboxylic acid of Formula 5'



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in the presence of a pyridine compound, the nominal mole ratio of the *ortho*-amino aromatic carboxylic acid to carboxylic acid charged in (1) being from about 0.8 to 1.2; and

(3) adding additional sulfonyl chloride to the mixture prepared in (2), the nominal mole ratio of additional sulfonyl chloride added in (3) to carboxylic acid charged in (1) being at least about 0.5.

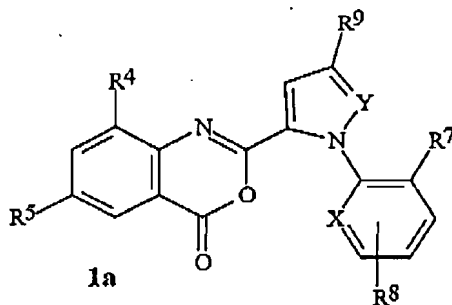
16. (New) The method of Claim 15 wherein the pyridine compound is selected from the group consisting of pyridine, quinoline, isoquinoline and pyridine substituted with alkyl, dimethylamino, or pyrrolidino.

17. (New) The method of Claim 15 wherein sulfonyl chloride of Formula 4 is selected from the group consisting of methanesulfonyl chloride, propanesulfonyl chloride and benzene sulfonyl chloride.

18. (New) The method of Claim 15 wherein the nominal mole ratio of sulfonyl chloride to carboxylic acid in (1) is from about 1.0 to 1.5; the nominal mole ratio of the *ortho*-amino aromatic carboxylic acid in (2) to carboxylic acid charged in (1) is from about 0.9 to 1.1; the nominal mole ratio of additional sulfonyl chloride added in (3) to carboxylic acid charged in (1) is from about 1.0 to 1.5.

19. (New) The method of Claim 18 wherein the nominal mole ratio of the pyridine compound charged in (1) to carboxylic acid charged in (1) is from about 1.0 to 2.0; additional pyridine compound is charged in (2); and the nominal mole ratio of the additional pyridine compound charged in (2) to carboxylic acid charged in (1) is from about 2.0 to 4.0.

20. (New) A method of using a compound of Formula 1a



wherein

X is N or CR⁶;

Y is N or CH;

R⁴ is C₁-C₄ alkyl or halogen;

R⁵ is H, C₁-C₄ alkyl, C₁-C₄ haloalkyl or halogen;

R⁶ and R⁷ are independently H, C₁-C₄ alkyl, C₁-C₄ haloalkyl, halogen, CN or C₁-C₄ haloalkoxy;

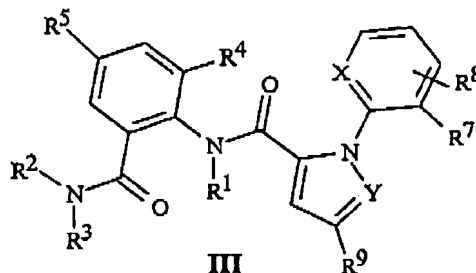
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R^8 is H, C_1 - C_4 alkyl, C_2 - C_4 alkenyl, C_2 - C_4 alkynyl, C_3 - C_6 cycloalkyl, C_1 - C_4 haloalkyl, C_2 - C_4 haloalkenyl, C_2 - C_4 haloalkynyl, C_3 - C_6 halocycloalkyl, halogen, CN, NO_2 , C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylthio, C_1 - C_4 alkylsulfinyl, C_1 - C_4 alkylsulfonyl, C_1 - C_4 alkylamino, C_2 - C_8 dialkylamino, C_3 - C_6 cycloalkylamino, $(C_1$ - C_4 alkyl)(C_3 - C_6 cycloalkyl)amino, C_2 - C_4 alkylcarbonyl, C_2 - C_6 alkoxycarbonyl, C_2 - C_6 alkylaminocarbonyl, C_3 - C_8 dialkylaminocarbonyl or C_3 - C_6 trialkylsilyl;

R^9 is CF_3 , OCF_3 , $OCHF_2$, OCH_2CF_3 , $S(O)_pCF_3$, $S(O)_pCHF_2$ or halogen; and p is 0, 1 or 2;

in the preparation of a compound of Formula III



wherein

R^1 is H;

R^2 is H or CH_3 ; and

R^3 is C_1 - C_6 alkyl;

characterized by:

using as said compound of Formula 1a, a compound of Formula 1a prepared by the method of Claim 15.

21. (New) The method of Claim 20 wherein

X is N;

Y is N;

R^2 is H or CH_3 ;

R^3 is C_1 - C_4 alkyl;

R^4 is CH_3 , F, Cl or Br;

R^5 is CF_3 , F, Cl, Br or I;

R^7 is Cl or Br;

R^8 is H; and

R^9 is CF_3 , $OCHF_2$, OCH_2CF_3 , Cl or Br.